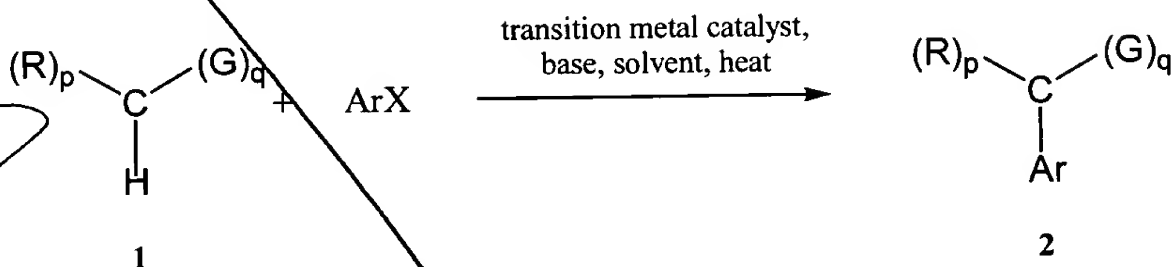


What is claimed is:

1. The method represented by the generalized reaction depicted in Scheme 1:



**Scheme 1**

5 wherein

G represents, independently for each occurrence, an electron withdrawing group selected from the group consisting of formyl, acyl, -C(O)OR, -C(O)NR<sub>2</sub>, nitro, nitroso, -S(O)<sub>2</sub>R, -SO<sub>3</sub>R, -S(O)<sub>2</sub>NR<sub>2</sub>, -C(NR)-R, -C(NOR)-R, and -C(NNR<sub>2</sub>)-R;

10 R represents, independently for each occurrence, hydrogen, alkyl, aryl, heteroalkyl, heteroaryl, halogen, alkylamino, arylamino, alkylthio, arylthio, alkoxy, aryloxy, or -(CH<sub>2</sub>)<sub>m</sub>-R<sub>8</sub>;

Ar represents an aromatic or heteroaromatic moiety;

X represents halogen, -OTf, -ONf, -OTs, -OMs, (alkyl)S(O)<sub>2</sub>O-, or (aryl)S(O)<sub>2</sub>O-;

the transition metal catalyst comprises a Group VIIA metal;

15 base represents a Bronsted base;

R<sub>8</sub> represents independently for each occurrence a substituted or unsubstituted aryl, cycloalkyl, cycloalkenyl, heterocycle or polycycle;

m, independently for each occurrence, is an integer selected from the range 0 to 8 inclusive;

20 q is an integer selected from the range 1 to 3 inclusive; and

p is an integer equal to (3-q).

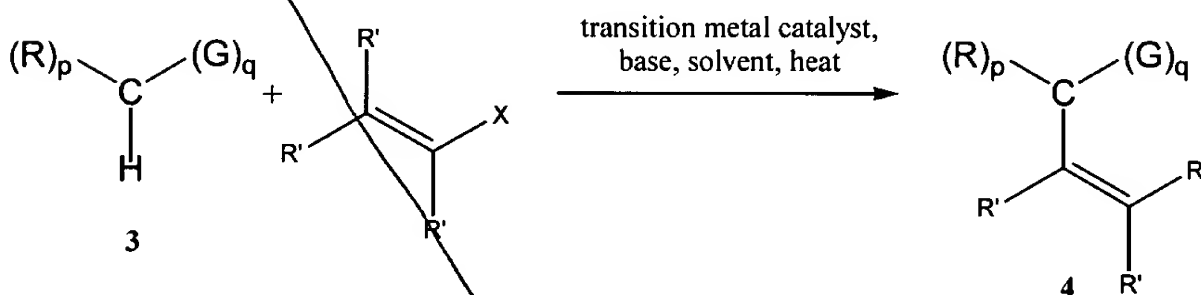
2. The method of claim 1, wherein the transition metal catalyst comprises a bidentate ligand.
3. The method of claim 1, wherein the transition metal catalyst comprises an asymmetric ligand; and the reaction produces a non-racemic mixture of a chiral compound 2.
4. The method of claim 1, wherein the transition metal catalyst comprises palladium, platinum, or nickel.
5. The method of claim 4, wherein the transition metal catalyst comprises palladium.
6. The method of claim 5, wherein the transition metal catalyst comprises palladium and a bidentate ligand.
7. The method of claim 6, wherein the transition metal catalyst comprises palladium and an asymmetric bidentate ligand.
8. The method of claim 1, wherein R represents, independently for each occurrence, hydrogen, alkyl, aryl, heteroalkyl, heteroaryl, or  $-(CH_2)_m-R_8$ .
9. The method of claim 1, wherein X represents Br, I, -OTf, -ONf, -OTs, or -OMs.
10. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein X represents Br, I, -OTf, or -ONf.
11. The method of claim 10, wherein the base is an alkoxide, carbonate, or an amide.
12. The method of claim 11, wherein the base is a salt of tert-butoxide, dialkylamide, or bis(trialkylsilyl)amide.
13. The method of claim 12, wherein the base is lithium, sodium, or potassium tert-butoxide.
14. The method of claim 13, wherein the base is sodium tert-butoxide.
15. The method of claim 10, wherein the solvent is a non-polar, aprotic solvent.
16. The method of claim 14, wherein the solvent is a non-polar, aprotic solvent.
17. The method of claim 15, wherein the solvent is a hydrocarbon.

18. The method of claim 16, wherein the solvent is a hydrocarbon.
19. The method of claim 17, wherein the solvent is an aromatic hydrocarbon.
20. The method of claim 18, wherein the solvent is an aromatic hydrocarbon.
21. The method of claim 19, wherein the solvent is toluene.
- 5 22. The method of claim 20, wherein the solvent is toluene.
23. The method of claim 1, wherein q equals 1.
24. The method of claim 22, wherein q equals 1.
25. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein G represents,  
independently for each occurrence, acyl, formyl, -C(O)OR, -C(O)NR<sub>2</sub>, -S(O)<sub>2</sub>R, -  
10 SO<sub>3</sub>R, -S(O)<sub>2</sub>NR<sub>2</sub>, -C(NR)-R, -C(NOR)-R, or -C(NNR<sub>2</sub>)-R.
26. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein G represents,  
independently for each occurrence, acyl, -C(O)OR, -C(NR)-R, -C(NOR)-R, or -  
C(NNR<sub>2</sub>)-R.
27. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein G represents acyl.
- 15 28. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein the method is practiced  
between about 70 and 110 °C.
29. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein the method is practiced at  
about 100 °C.
30. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein the method is practiced at  
20 about 70 °C.
31. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein the method is practiced at  
about 25 °C.
32. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein the product has an ee of  
greater than or equal to 50%.
- 25 33. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein the product has an ee of  
greater than or equal to 70%.

34. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein the product has an ee of greater than or equal to 80%.

35. The method of claim 1, 2, 3, 4, 5, 6, 7, 8, or 9, wherein the product has an ee of greater than or equal to 90%.

36. The method represented by the generalized reaction depicted in Scheme 3:



**Scheme 3**

wherein

G represents, independently for each occurrence, an electron withdrawing group selected from the group consisting of formyl, acyl, -C(O)OR, -C(O)NR<sub>2</sub>, nitro, nitroso, -S(O)<sub>2</sub>R, -SO<sub>3</sub>R, -S(O)<sub>2</sub>NR<sub>2</sub>, -C(NR)-R, -C(NOR)-R, and -C(NNR<sub>2</sub>)-R;

R represents, independently for each occurrence, hydrogen, alkyl, aryl, heteroalkyl, heteroaryl, halogen, alkylamino, arylamino, alkylthio, arylthio, alkoxy, aryloxy, or -(CH<sub>2</sub>)<sub>m</sub>-R<sub>8</sub>;

R' represents, independently for each occurrence, hydrogen, alkyl, aryl, heteroalkyl, heteroaryl, alkylamino, arylamino, alkylthio, arylthio, alkoxy, aryloxy, or -(CH<sub>2</sub>)<sub>m</sub>-R<sub>8</sub>;

X represents halogen, -OTf, -ONf, -OTs, -OMs, (alkyl)S(O)<sub>2</sub>O-, or (aryl)S(O)<sub>2</sub>O-;

the transition metal catalyst comprises a Group VIIIA metal;

base represents a Bronsted base;

R<sub>8</sub> represents independently for each occurrence a substituted or unsubstituted aryl, cycloalkyl, cycloalkenyl, heterocycle or polycycle;

m, independently for each occurrence, is an integer selected from the range 0 to 8 inclusive;

q is an integer selected from the range 1 to 3 inclusive; and

p is an integer equal to (3-q).

5 37. The method of claim 36, wherein the transition metal catalyst comprises a bidentate ligand.

38. The method of claim 36, wherein the transition metal catalyst comprises an asymmetric ligand; and the reaction produces a non-racemic mixture of a chiral compound 4.

10 39. The method of claim 36, wherein the transition metal catalyst comprises palladium, platinum, or nickel.

40. The method of claim 39, wherein the transition metal catalyst comprises palladium.

15 41. The method of claim 40, wherein the transition metal catalyst comprises palladium and a bidentate ligand.

42. The method of claim 41, wherein the transition metal catalyst comprises palladium and an asymmetric bidentate ligand.

43. The method of claim 36, wherein R represents, independently for each occurrence, hydrogen, alkyl, aryl, heteroalkyl, heteroaryl, or  $-(CH_2)_m-R_8$ .

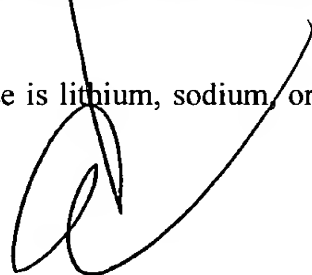
20 44. The method of claim 36, wherein X represents Br, I, -OTf, -ONf, -OTs, or -OMs.

45. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein X represents Br, I, -OTf, or -ONf.

46. The method of claim 45, wherein the base is an alkoxide, carbonate, or an amide.

25 47. The method of claim 46, wherein the base is a salt of tert-butoxide, dialkylamide, or bis(trialkylsilyl)amide.

48. The method of claim 47, wherein the base is lithium, sodium, or potassium tert-butoxide.



49. The method of claim 48, wherein the base is sodium tert-butoxide.
50. The method of claim 45, wherein the solvent is a non-polar, aprotic solvent.
51. The method of claim 49, wherein the solvent is a non-polar, aprotic solvent.
52. The method of claim 50, wherein the solvent is a hydrocarbon.
53. The method of claim 51, wherein the solvent is a hydrocarbon.
54. The method of claim 52, wherein the solvent is an aromatic hydrocarbon.
55. The method of claim 53, wherein the solvent is an aromatic hydrocarbon.
56. The method of claim 54, wherein the solvent is toluene.
57. The method of claim 55, wherein the solvent is toluene.
58. The method of claim 36, wherein q equals 1.
59. The method of claim 57, wherein q equals 1.
60. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein G represents, independently for each occurrence, acyl, formyl,  $-C(O)OR$ ,  $-C(O)NR_2$ ,  $-S(O)_2R$ ,  $-SO_3R$ ,  $-S(O)_2NR_2$ ,  $-C(NR)-R$ ,  $-C(NOR)-R$ , or  $-C(NNR_2)-R$ .
61. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein G represents, independently for each occurrence, acyl,  $-C(O)OR$ ,  $-C(NR)-R$ ,  $-C(NOR)-R$ , or  $-C(NNR_2)-R$ .
62. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein G represents acyl.
63. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein the method is practiced between about 70 and 110 °C.
64. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein the method is practiced at about 100 °C.
65. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein the method is practiced at about 70 °C.
66. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein the method is practiced at about 25 °C.

67. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein the product has an ee of greater than or equal to 50%.

68. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein the product has an ee of greater than or equal to 70%.

5 69. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein the product has an ee of greater than or equal to 80%.

70. The method of claim 36, 37, 38, 39, 40, 41, 42, 43, or 44, wherein the product has an ee of greater than or equal to 90%.

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